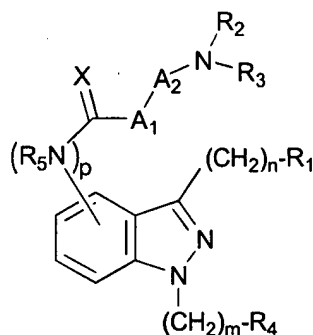


Amendments to the Claims:

This listing of claims will replace all prior versions, and listings, of claims in the application:

1. (Currently Amended) A compound of the following formula (I):



(I)

wherein:

A_1 and A_2 are each independently a D- or L-amino acid selected from the group consisting of alanine, β -alanine, arginine, homoarginine, cyclohexylalanine, citrulline, cysteine (optionally substituted with C_1 - C_4 alkyl, aryl, or arC_1 - C_4 alkyl), 2,4-diaminobutyric acid (optionally substituted with acyl, C_1 - C_4 alkyl, aroyl, amidino, or $MeC(NH)-$), 2,3-diaminopropionic acid (optionally substituted with acyl, C_1 - C_4 alkyl, aroyl, amidino, or $MeC(NH)-$), glutamine, glycine, indanylglycine, lysine (optionally substituted with acyl, C_1 - C_4 alkyl, aroyl, $MeC(NH)-$), valine, methionine, proline, serine (optionally substituted with C_1 - C_4 alkyl, aryl, or arC_1 - C_4 alkyl), homoserine (optionally substituted with C_1 - C_4 alkyl, aryl, or arC_1 - C_4 alkyl), tetrahydroisoquinoline-3-COOH, threonine (optionally substituted with C_1 - C_4 alkyl, aryl, or arC_1 - C_4 alkyl), ornithine (optionally substituted with acyl, C_1 - C_4 alkyl, aroyl, $MeC(NH)-$), and an unsubstituted or substituted aromatic amino acid selected from the group consisting of phenylalanine, heteroarylalanine, naphthylalanine, homophenylalanine, histidine, tryptophan, tyrosine, arylglycine, heteroarylglycine, aryl- β -alanine, and heteroaryl- β -alanine wherein the substituents on the aromatic amino acid are independently selected from ~~one or more~~ the group consisting of halogen, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, hydroxy, C_1 - C_4 alkoxycarbonyl, amino, amidino, guanidino, fluorinated C_1 - C_4 alkyl, fluorinated C_1 - C_4

alkoxy, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylcarbonyl, cyano, aryl, heteroaryl, arC₁-C₄ alkyl, C₂-C₄ alkenyl, alkynyl, ~~or~~ and nitro;

R₁ is selected from the group consisting of amino, C₁-C₈ alkylamino, C₁-C₈ dialkylamino, arylamino, arC₁-C₈ alkylamino, C₃-C₈ cycloalkylamino, heteroalkylC₁-C₈ alkylamino, heteroalkylC₁-C₈ alkyl-N-methylamino, C₁-C₈ dialkylaminoC₁-C₈ alkylamino, -N(C₁-C₈alkyl)-C₁-C₈ alkyl-N(C₁-C₈alkyl)₂, N(C₁-C₈alkyl)(C₁-C₈alkenyl), -N(C₁-C₈alkyl)(C₃-C₈cycloalkyl), heteroalkyl ~~or~~ and substituted heteroalkyl wherein the substituent on the heteroalkyl is selected from the group consisting of oxo, amino, C₁-C₈ alkoxyC₁-C₈ alkyl, C₁-C₈ alkylamino ~~or~~ and C₁-C₈ dialkylamino;

R₂ and R₃ are each independently selected from the group consisting of hydrogen, C₁-C₈ alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkylC₁-C₈ alkyl, aryl, heteroalkyl, substituted heteroalkyl (wherein the substituent on the heteroalkyl is one or more substituents independently selected from the group consisting of C₁-C₈ alkoxycarbonyl, C₁-C₈ alkyl, ~~or~~ and C₁-C₄ alkylcarbonyl), heteroalkylC₁-C₈ alkyl, indanyl, acetamidinoC₁-C₈ alkyl, aminoC₁-C₈ alkyl, C₁-C₈ alkylaminoC₁-C₈ alkyl, C₁-C₈ dialkylaminoC₁-C₈ alkyl, unsubstituted or substituted heteroarylC₁-C₈ alkyl and ~~or~~ unsubstituted or substituted arC₁-C₈ alkyl, wherein the substituent on the aralkyl or heteroarylalkyl group is one or more substituents independently selected from the group consisting of halogen, nitro, amino, C₁-C₈ alkyl, C₁-C₈ alkoxy, hydroxy, cyano, C₁-C₄ alkylcarbonyl, C₁-C₈ alkoxycarbonyl, hydroxyC₁-C₈ alkyl ~~or~~ and aminosulfonyl; or

R₂ and R₃, together with the nitrogen to which they are attached, alternatively form an unsubstituted or substituted heteroalkyl group selected from the group consisting of piperidinyl, piperazinyl, morpholinyl ~~or~~ and pyrrolidinyl, wherein the substituent is one or more substituents independently selected from the group consisting of C₁-C₈ alkyl C₁-C₈ alkoxycarbonyl ~~or~~ and C₁-C₄ alkylcarbonyl;

R₄ is selected from the group consisting of unsubstituted or substituted aryl, arC₁-C₈ alkyl, C₃-C₈ cycloalkyl, ~~or~~ and heteroaryl, where the substituents on the aryl, arC₁-C₈ alkyl, cycloalkyl or heteroaryl group are independently selected from the group consisting of one or more of halogen, nitro, amino, cyano, hydroxyalkyl, C₁-C₈ alkyl, C₁-C₈ alkoxy, hydroxy, C₁-C₄ alkylcarbonyl, C₁-C₈ alkoxycarbonyl, fluorinated C₁-C₄ alkyl, fluorinated C₁-C₄ alkoxy, and C₁-C₄ alkylsulfonyl;

R₅ is selected from the group consisting of hydrogen ~~or~~ and C₁-C₈ alkyl;

X is selected from the group consisting of oxygen ~~or~~ and sulfur;

m is an integer selected from the group consisting of 0, 1, 2 ~~or~~ and 3;

n is an integer selected from the group consisting of 1 ~~or~~ and 2; and

p is an integer selected from the group consisting of 0 ~~or~~ and 1;

and pharmaceutically acceptable salts thereof.

2. (Currently Amended) The compound of Claim 1, wherein:

A₁ and A₂ are each independently an L-amino acid selected from the group consisting of alanine, β -alanine, arginine, homoarginine, cyclohexylalanine, citrulline, cysteine (optionally substituted with C₁-C₄ alkyl, aryl, or arC₁-C₄ alkyl), 2,4-diaminobutyric acid (optionally substituted with acyl, C₁-C₄ alkyl, aroyl, amidino, or MeC(NH)-), 2,3-diaminopropionic acid (optionally substituted with acyl, C₁-C₄ alkyl, aroyl, amidino, or MeC(NH)-), glutamine, glycine, indanylglycine, lysine (optionally substituted with acyl, C₁-C₄ alkyl, aroyl, MeC(NH)-), valine, methionine, proline, serine (optionally substituted with C₁-C₄ alkyl, aryl, or arC₁-C₄ alkyl), homoserine (optionally substituted with C₁-C₄ alkyl, aryl, or arC₁-C₄ alkyl), tetrahydroisoquinoline-3-COOH, threonine (optionally substituted with C₁-C₄ alkyl, aryl, or arC₁-C₄ alkyl), ornithine (optionally substituted with acyl, C₁-C₄ alkyl, aroyl, MeC(NH)-), and an unsubstituted or substituted aromatic amino acid selected from the group consisting of phenylalanine, heteroarylalanine, naphthylalanine, homophenylalanine, histidine, tryptophan, tyrosine, arylglycine, heteroarylglycine, aryl- β -alanine, and heteroaryl- β -alanine wherein the substituents on the aromatic amino acid are independently selected from the group consisting ~~one or more~~ of halogen, C₁-C₄ alkyl, C₁-C₄ alkoxy, hydroxy, C₁-C₄ alkoxycarbonyl, amino, amidino, guanidino, fluorinated C₁-C₄ alkyl, fluorinated C₁-C₄ alkoxy, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylcarbonyl, cyano, aryl, heteroaryl, arC₁-C₄ alkyl, C₂-C₄ alkenyl, alkynyl, ~~or~~ and nitro;

R₁ is selected from the group consisting of amino, C₁-C₆ alkylamino, C₁-C₆ dialkylamino, arylamino, arC₁-C₆ alkylamino, heteroalkylC₁-C₆ alkylamino, -N(C₁-C₆alkyl)-C₁-C₆ alkyl-N(C₁-C₆alkyl)₂, heteroalkyl ~~or~~ and substituted heteroalkyl wherein the substituent on the heteroalkyl is selected from the group consisting of oxo, amino, C₁-C₆alkoxyC₁-C₆ alkyl, C₁-C₆ alkylamino ~~or~~ and C₁-C₆ dialkylamino;

R₂ is selected from the group consisting of hydrogen or and C₁-C₆ alkyl;

R₃ is selected from the group consisting of C₁-C₈ alkyl, C₃-C₆ cycloalkyl, C₃-C₆cycloalkylC₁-C₆alkyl, aryl, heteroarylC₁-C₆ alkyl, and substituted heteroarylC₁-C₆alkyl wherein the substituent is selected from the group consisting of C₁-C₄ alkyl, heteroalkyl, heteroalkylC₁-C₆ alkyl, indanyl, acetamidinoC₁-C₆ alkyl, aminoC₁-C₆ alkyl, C₁-C₆alkylaminoC₁-C₆ alkyl, C₁-C₆ dialkylaminoC₁-C₆ alkyl, arC₁-C₈alkyl, and substituted arC₁-C₈ alkyl (wherein the substituent on the aralkyl group is one to five substituents independently selected from the group consisting of halogen, nitro, amino, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ alkoxycarbonyl, hydroxyalkyl or and aminosulfonyl);

R₂ and R₃, together with the nitrogen to which they are attached, alternatively form an unsubstituted or substituted heteroalkyl group selected from the group consisting of piperidinyl, piperazinyl or and pyrrolidinyl, wherein the substituent is independently one or two substituents selected from C₁-C₆ alkyl;

R₄ is selected from the group consisting of unsubstituted or substituted aryl, arC₁-C₆ alkyl, C₃-C₆cycloalkyl or and heteroaryl, where the substituents on the aryl, aralkyl, cycloalkyl or heteroaryl group are independently selected from one to three substituents selected from the group consisting of halogen, cyano, C₁-C₄ alkyl, C₁-C₄ alkoxy, C₁-C₄alkoxycarbonyl, fluorinated C₁-C₄ alkyl, fluorinated C₁-C₄ alkoxy or and C₁-C₄alkylsulfonyl;

R₅ is hydrogen;

X is oxygen; and

p is 1;

and pharmaceutically acceptable salts thereof.

3. (Currently Amended) The compound of Claim 2, wherein:

A₁ is an L-amino acid selected from the group consisting of alanine, arginine, cyclohexylalanine, glycine, proline, tetrahydroisoquinoline-3-COOH, and an unsubstituted or substituted aromatic amino acid selected from the group consisting of phenylalanine, naphthylalanine, homophenylalanine, and O-methyl tyrosine, wherein the substituents on the aromatic amino acid are independently one to five substituents selected from the group consisting of halogen, C₁-C₄ alkyl, C₁-C₄ alkoxy, hydroxy, C₁-C₄ alkoxycarbonyl, amino,

amidino, guanidino, fluorinated C₁-C₄ alkyl, fluorinated C₁-C₄ alkoxy, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylcarbonyl, cyano, aryl, heteroaryl, arC₁-C₄ alkyl, C₂-C₄ alkenyl, alkynyl, ~~or~~ and nitro;

A₂ is an L-amino acid selected from the group consisting of alanine, β -alanine, arginine, citrulline, cysteine (optionally substituted with a substituent selected from the group consisting of C₁-C₄ alkyl, aryl, ~~or~~ and arC₁-C₄ alkyl), 2,4-diaminobutyric acid (optionally substituted with a substituent selected from the group consisting of acyl, C₁-C₄ alkyl, aroyl, amidino, ~~or~~ and MeC(NH)-), 2,3- diaminopropionic acid (optionally substituted with a group selected from the group consisting of acyl, C₁-C₄ alkyl, aroyl, amidino, ~~or~~ and MeC(NH)-), glutamine, glycine, lysine (optionally substituted with a substituent selected from the group consisting of acyl, C₁-C₄ alkyl, aroyl, and MeC(NH)-), valine, methionine, serine (optionally substituted with a substituent selected from the group consisting of C₁-C₄ alkyl, aryl, ~~or~~ and arC₁-C₄ alkyl), homoserine (optionally substituted with a substituent selected from the group consisting of C₁-C₄ alkyl, aryl, ~~or~~ and arC₁-C₄ alkyl), threonine (optionally substituted with a substituent selected from the group consisting of C₁-C₄ alkyl, aryl, ~~or~~ and arC₁-C₄ alkyl), ornithine (optionally substituted with a substituent selected from the group consisting of acyl, C₁-C₄ alkyl, aroyl, and MeC(NH)-), and an unsubstituted or substituted aromatic amino acid selected from the group consisting of phenylalanine, heteroarylalanine, and histidine, wherein the substituents of the aromatic amino acid are independently one to five substituents selected from the group consisting of halogen, C₁-C₄ alkyl, C₁-C₄ alkoxy, hydroxy, C₁-C₄ alkoxy carbonyl, amino, amidino, guanidino, fluorinated C₁-C₄ alkyl, fluorinated C₁-C₄ alkoxy, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylcarbonyl, cyano, aryl, heteroaryl, arC₁-C₄ alkyl, C₂-C₄ alkenyl, alkynyl, ~~or~~ and nitro;

R₂ is selected from the group consisting of hydrogen ~~or~~ and C₁-C₄ alkyl; and

m and n are both 1;

and pharmaceutically acceptable salts thereof.

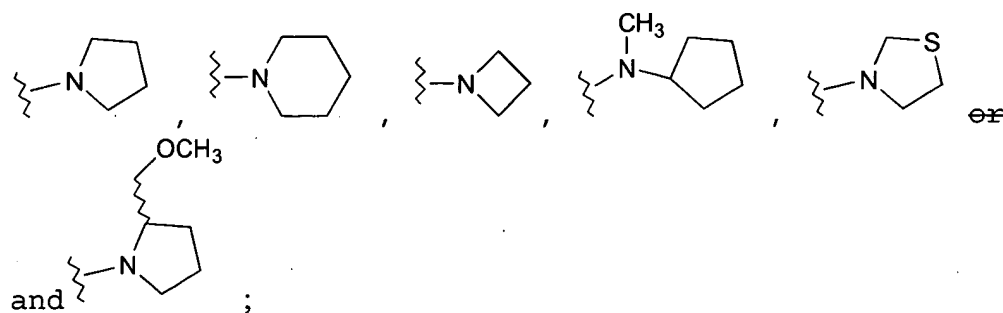
4. (Currently Amended) The compound of Claim 3, wherein:

A₁ is an L-amino acid selected from the group consisting of alanine, arginine, cyclohexylalanine, proline, tetrahydroisoquinoline-3-COOH, and an unsubstituted or substituted aromatic amino acid selected from the group consisting of phenylalanine,

naphthylalanine, homophenylalanine, and O-methyl tyrosine, wherein the substituents on the aromatic amino acid are independently one to two substituents selected from halogen, C₁-C₄ alkyl, C₁-C₄ alkoxy, hydroxy, C₁-C₄ alkoxycarbonyl, amino, amidino, guanidino, fluorinated C₁-C₄ alkyl, fluorinated C₁-C₄ alkoxy, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylcarbonyl, cyano, aryl, heteroaryl, arC₁-C₄ alkyl, C₂-C₄ alkenyl, alkynyl, or nitro;

A₂ is an L-amino acid selected from the group consisting of alanine, β -alanine, arginine, citrulline, cysteine (optionally substituted with a substituent selected from the group consisting of C₁-C₄ alkyl, aryl, ~~or~~ and arC₁-C₄ alkyl), 2,4-diaminobutyric acid (optionally substituted with a substituent selected from the group consisting of acyl, C₁-C₄ alkyl, aroyl, amidino, ~~or~~ and MeC(NH)-), 2,3-diaminopropionic acid (optionally substituted with a substituent selected from the group consisting of acyl, C₁-C₄ alkyl, aroyl, amidino, ~~or~~ and MeC(NH)-), glutamine, glycine, lysine (optionally substituted with a substituent selected from the group consisting of acyl, C₁-C₄ alkyl, aroyl, and MeC(NH)-), valine, methionine, serine (optionally substituted with a substituent selected from the group consisting of C₁-C₄ alkyl, aryl, ~~or~~ and arC₁-C₄ alkyl), homoserine (optionally substituted with a substituent selected from the group consisting of C₁-C₄ alkyl, aryl, ~~or~~ and arC₁-C₄ alkyl), threonine (optionally substituted with a substituent selected from the group consisting of C₁-C₄ alkyl, aryl, ~~or~~ and arC₁-C₄ alkyl), ornithine (optionally substituted with a substituent selected from the group consisting of acyl, C₁-C₄ alkyl, aroyl, and MeC(NH)-), and an unsubstituted or substituted aromatic amino acid selected from the group consisting of phenylalanine, heteroarylalanine, and histidine, wherein the substituents on the aromatic amino acid are independently one to two substituents selected from the group consisting of halogen, C₁-C₄ alkyl, C₁-C₄ alkoxy, hydroxy, C₁-C₄ alkoxycarbonyl, amino, amidino, guanidino, fluorinated C₁-C₄ alkyl, fluorinated C₁-C₄ alkoxy, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylcarbonyl, cyano, aryl, heteroaryl, arC₁-C₄ alkyl, C₂-C₄ alkenyl, alkynyl, ~~or~~ and nitro;

R₁ is selected from the group consisting of diethylamino, di-(*n*-propyl)amino,

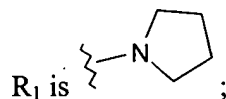


R_2 is selected from the group consisting of hydrogen, methyl or and ethyl;
 R_3 is selected from the group consisting of 2-indanyl, phenyl, cyclohexylmethyl, cyclopentyl, pyridylmethyl, furanylmethyl, 2-(4-methyl-furanyl)methyl, thienylmethyl, diphenylmethyl, 4-imidazolylethyl, 2-(4-N-methyl)imidazolylethyl, *n*-octyl, phenyl-*n*-propyl, aminoethyl, aminopropyl, amino-*n*-pentyl, dimethylaminoethyl, 4-aminophenylsulfonylaminomethyl, acetamidineylethyl, 2-N-pyrrolidinylethyl, N-ethoxycarbonylpiperidinyl, unsubstituted or substituted phenylethyl and unsubstituted or substituted benzyl wherein the substituents on the phenylethyl or benzyl are independently one or two substituents selected from the group consisting of methyl, fluorine, chlorine, nitro, methoxy, methoxycarbonyl or and hydroxymethyl; or

R_2 and R_3 , together with the nitrogen to which they are attached, alternatively form a heteroalkyl group selected from the group consisting of piperidinyl, or and 4-(N-methyl)piperazinyl; and

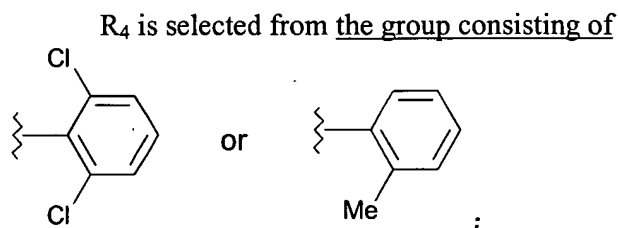
R_4 is selected from the group consisting of cyclohexyl, 2-naphthyl, phenylethyl, 4-fluorophenylethyl or and unsubstituted or substituted phenyl, where the substituents on the phenyl are independently selected from one to two substituents selected from the group consisting of fluorine, chlorine, iodine, methyl, cyano or and trifluoromethyl; and pharmaceutically acceptable salts thereof.

5. (Original) The compound of Claim 4, wherein:



and pharmaceutically acceptable salts thereof.

6. (Currently Amended) The compound of Claim 5, wherein:



and pharmaceutically acceptable salts thereof.

7. (Currently Amended) The compound of Claim 6, wherein:

A₁ is selected from the group consisting of 3,4-Difluorophenylalanine ~~or~~ and 4-Chlorophenylalanine;

A₂ is selected from the group consisting of 2,4-Diaminobutyric acid ~~or~~ and 4-Pyridylalanine;

R₂ is hydrogen; and

R₃ is selected from the group consisting of benzyl ~~or~~ and 2-aminoethyl;

and pharmaceutically acceptable salts thereof.

8. (Original) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a compound of Claim 1.

9. (Original) A pharmaceutical composition made by mixing a compound of Claim 1 and a pharmaceutically acceptable carrier.

10. (Original) A process for making a pharmaceutical composition comprising mixing a compound of Claim 1 and a pharmaceutically acceptable carrier.

11. (Withdrawn) A method of treating a condition selected from the group consisting of thrombosis, restenosis, hypertension, heart failure, arrhythmia, myocardial infarction,

glomerulonephritis, reocclusion following thrombolytic therapy, reocclusion following angioplasty, inflammation, angina, stroke, atherosclerosis, ischemic conditions, a vaso-occlusive disorder, neurodegenerative disorders, Angiogenesis related disorders and cancer in a subject in need thereof comprising administering to the subject a therapeutically effective amount of the compound of Claim 1.

12. (Withdrawn) The method of Claim 11, wherein the therapeutically effective amount of the compound is from about 0.1 mg/kg/day to about 300 mg/kg/day.

13. (Withdrawn) A method of treating a condition selected from the group consisting of thrombosis, restenosis, hypertension, heart failure, arrhythmia, myocardial infarction, glomerulonephritis, reocclusion following thrombolytic therapy, reocclusion following angioplasty, inflammation, angina, stroke, atherosclerosis, ischemic conditions, a vaso-occlusive disorder, neurodegenerative disorders, Angiogenesis related disorders and cancer in a subject in need thereof comprising administering to the subject a therapeutically effective amount of the composition of Claim 8.

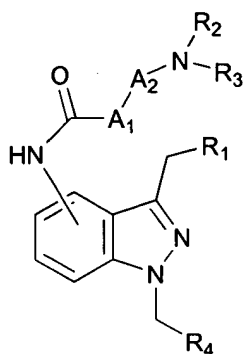
14. (Withdrawn) The method of Claim 13, wherein the therapeutically effective amount of the compound is from about 0.1 mg/kg/day to about 300 mg/kg/day.

15. (Withdrawn) A method of inhibiting platelet aggregation in a subject in need thereof comprising administering to the subject a therapeutically effective amount of the compound of Claim 1.

16. (Withdrawn) The method of Claim 15, wherein the therapeutically effective amount of the compound is from about 0.1 mg/kg/day to about 300 mg/kg/day.

17. (Withdrawn) A method of inhibiting platelet aggregation in a subject in need thereof comprising administering to the subject a therapeutically effective amount of the composition of Claim 8.

18. (Withdrawn) The method of Claim 17, wherein the therapeutically effective amount of the compound is from about 0.1 mg/kg/day to about 300 mg/kg/day.
19. (Original) A method of treating a condition mediated by thrombin receptor (PAR-1) in a subject in need thereof comprising administering to the subject a therapeutically effective amount of the compound of Claim 1.
20. (Original) The method of Claim 19, wherein the therapeutically effective amount of the compound is from about 0.1 mg/kg/day to about 300 mg/kg/day.
21. (Original) A method of treating a condition mediated by thrombin receptor (PAR-1) in a subject in need thereof comprising administering to the subject a therapeutically effective amount of the composition of Claim 8.
22. (Original) The method of Claim 21, wherein the therapeutically effective amount of the compound is from about 0.1 mg/kg/day to about 300 mg/kg/day.
23. (Currently Amended) A process for preparing a compound of the formula (II):



(II)

wherein:

A₁ and A₂ are each independently a D- or L-amino acid selected from the group consisting of alanine, β -alanine, arginine, homoarginine, cyclohexylalanine, citrulline, cysteine (optionally substituted with a substituent selected from the group consisting of C₁-C₄

alkyl, aryl, ~~or~~ and arC₁-C₄ alkyl), 2,4-diaminobutyric acid (optionally substituted with a substituent selected from the group consisting of acyl, C₁-C₄ alkyl, aroyl, amidino, ~~or~~ and MeC(NH)-), 2,3-diaminopropionic acid (optionally substituted with a substituent selected from the group consisting of acyl, C₁-C₄ alkyl, aroyl, amidino, ~~or~~ and MeC(NH)-), glutamine, glycine, indanylglycine, lysine (optionally substituted with a substituent selected from the group consisting of acyl, C₁-C₄ alkyl, aroyl, and MeC(NH)-), valine, methionine, proline, serine (optionally substituted with a substituent selected from the group consisting of C₁-C₄ alkyl, aryl, ~~or~~ and arC₁-C₄ alkyl), homoserine (optionally substituted with a substituent selected from the group consisting of C₁-C₄ alkyl, aryl, ~~or~~ and arC₁-C₄ alkyl), tetrahydroisoquinoline-3-COOH, threonine (optionally substituted with a substituent selected from the group consisting of C₁-C₄ alkyl, aryl, ~~or~~ and arC₁-C₄ alkyl), ornithine (optionally substituted with a substituent selected from the group consisting of acyl, C₁-C₄ alkyl, aroyl, and MeC(NH)-), and an unsubstituted or substituted aromatic amino acid selected from the group consisting of phenylalanine, heteroarylalanine, naphthylalanine, homophenylalanine, histidine, tryptophan, tyrosine, arylglycine, heteroarylglycine, aryl-β-alanine, and heteroaryl-β-alanine wherein the substituents on the aromatic amino acid are independently selected from ~~one or more~~ the group consisting of halogen, C₁-C₄ alkyl, C₁-C₄ alkoxy, hydroxy, C₁-C₄ alkoxycarbonyl, amino, amidino, guanidino, fluorinated C₁-C₄ alkyl, fluorinated C₁-C₄ alkoxy, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylcarbonyl, cyano, aryl, heteroaryl, arC₁-C₄ alkyl, C₂-C₄ alkenyl, alkynyl, ~~or~~ and nitro;

R₁ is selected from the group consisting amino, C₁-C₈ alkylamino, C₁-C₈ dialkylamino, arylamino, arC₁-C₈ alkylamino, C₃-C₈ cycloalkylamino, heteroalkylC₁-C₈ alkylamino, heteroalkylC₁-C₈ alkyl-N-methylamino, C₁-C₈ dialkylaminoC₁-C₈ alkylamino, -N(C₁-C₈alkyl)-C₁-C₈ alkyl-N(C₁-C₈alkyl)₂, N(C₁-C₈alkyl)(C₁-C₈alkenyl), -N(C₁-C₈alkyl)(C₃-C₈cycloalkyl), heteroalkyl ~~or~~ and substituted heteroalkyl wherein the substituent on the heteroalkyl is selected from the group consisting oxo, amino, C₁-C₈ alkoxyC₁-C₈ alkyl, C₁-C₈ alkylamino ~~or~~ and C₁-C₈ dialkylamino;

R₂ and R₃ are each independently selected from the group consisting hydrogen, C₁-C₈ alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkylC₁-C₈ alkyl, aryl, heteroalkyl, substituted heteroalkyl (wherein the substituent on the heteroalkyl is one or more substituents independently selected from the group consisting C₁-C₈ alkoxycarbonyl, C₁-C₈ alkyl, ~~or~~ and

C₁-C₄ alkylcarbonyl), heteroalkylC₁-C₈ alkyl, indanyl, acetamidinoC₁-C₈ alkyl, aminoC₁-C₈ alkyl, C₁-C₈ alkylaminoC₁-C₈ alkyl, C₁-C₈ dialkylaminoC₁-C₈ alkyl, unsubstituted or substituted heteroarylC₁-C₈ alkyl ~~or~~ and unsubstituted or substituted arC₁-C₈ alkyl, wherein the substituent on the aralkyl or heteroarylalkyl group is one or more substituents independently selected from the group consisting halogen, nitro, amino, C₁-C₈ alkyl, C₁-C₈ alkoxy, hydroxy, cyano, C₁-C₄ alkylcarbonyl, C₁-C₈ alkoxycarbonyl, hydroxyC₁-C₈ alkyl ~~or~~ and aminosulfonyl; or

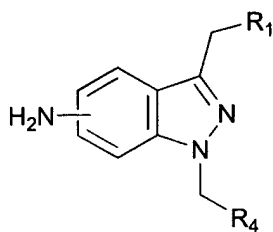
R₂ and R₃, together with the nitrogen to which they are attached, alternatively form an unsubstituted or substituted heteroalkyl group selected from the group consisting piperidinyl, piperazinyl, morpholinyl ~~or~~ and pyrrolidinyl, wherein the substituent is one or more substituents independently selected from the group consisting C₁-C₈ alkyl C₁-C₈ alkoxycarbonyl ~~or~~ and C₁-C₄ alkylcarbonyl;

R₄ is selected from the group consisting unsubstituted or substituted aryl, arC₁-C₈ alkyl, C₃-C₈ cycloalkyl, ~~or~~ and heteroaryl, where the substituents on the aryl, arC₁-C₈ alkyl, cycloalkyl or heteroaryl group are independently selected from ~~one or more~~ the group consisting of halogen, nitro, amino, cyano, hydroxyalkyl, C₁-C₈ alkyl, C₁-C₈ alkoxy, hydroxy, C₁-C₄ alkylcarbonyl, C₁-C₈ alkoxycarbonyl, fluorinated C₁-C₄ alkyl, fluorinated C₁-C₄ alkoxy, and C₁-C₄ alkylsulfonyl;

comprising reacting a compound of the formula AAG6:

H-A₁-A₂-NR₂R₃,

with a compound of the formula AAG4:



in the presence of a phosgene equivalent to form the compound of formula (II).